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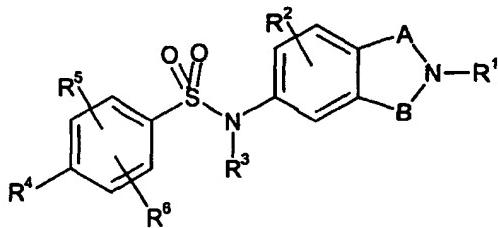
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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: BENZENESULFONAMIDE DERIVATIVES AND THEIR USE AS DOPAMINE D3 AND D2 RECEPTOR LIGA DS



(I)

-(CH₂)_pNR⁷R⁸, -(CH₂)_pNR⁷COR⁸, optionally substituted aryl, optionally substituted heteroaryl or a fused bicyclic heterocyclic ring system; R³ represents hydrogen or C₁₋₆alkyl; R⁴ represents halogen, trifluoromethyl, trifluoromethoxy, C₁₋₆alkyl, C₁₋₆alkoxy, -(CH₂)_pC₃₋₆cycloalkyl or -(CH₂)_pC₃₋₆cycloalkyloxy; R⁵ and R⁶ each independently represent hydrogen, halogen, hydroxy, cyano, nitro, hydroxylC₁₋₆alkyl, trifluoromethyl, trifluoromethoxy, C₁₋₆alkyl, C₁₋₆alkoxy, -(CH₂)_pC₃₋₆cycloalkyl, -(CH₂)_pC₃₋₆cycloalkyloxy, -COCl₁₋₆alkyl, -SOC₁₋₆alkyl, -SOC₁₋₆alkyl, -S-C₁₋₆alkyl, -COCl₁₋₆alkyl, -CO₂NR⁷R⁸, -SO₂NR⁷R⁸, -(CH₂)_pNR⁷R⁸, -(CH₂)_pNR⁷COR⁸, optionally substituted aryl, optionally substituted heteroaryl or a fused bicyclic heterocyclic ring system; R⁷ and R⁸ each independently represent hydrogen or C₁₋₆alkyl; m and n independently represent an integer selected from 1 and 2; p independently represents an integer selected from 0, 1, 2 and 3; or a pharmaceutically acceptable salt or solvate thereof, with the proviso that the compounds 4-methyl-N-(1,2,3,4-tetrahydroisoquinolin-6-yl)-benzenesulfonamide, 7-(4-chlorophenyl)sulfonamido-1,2,3,4-tetrahydroisoquinoline hydrochloride and N-(2-ethyl-5-isoindolinyl)-p-toluenesulfonamide are excluded. The compounds are useful in therapy, in particular as antipsychotic agents.

(57) Abstract: The invention provides compounds of formula (I) wherein A and B represent the groups -(CH₂)_m- and -(CH₂)_n- respectively; R¹ represents hydrogen or C₁₋₆alkyl; R² represents hydrogen, halogen, hydroxy, cyano, nitro, hydroxylC₁₋₆alkyl, trifluoromethyl, trifluoromethoxy, C₁₋₆alkyl, C₁₋₆alkoxy, -(CH₂)_pC₃₋₆cycloalkyl, -(CH₂)_pC₃₋₆cycloalkyloxy, -COCl₁₋₆alkyl, -SOC₁₋₆alkyl, -SOC₁₋₆alkyl, -S-C₁₋₆alkyl, -COCl₁₋₆alkyl, -CO₂NR⁷R⁸, -SO₂NR⁷R⁸,

WO 2003/068732 A3

INTERNATIONAL SEARCH REPORT

International Application No PCT/EP 03/01544

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D223/16	A61K31/395	A61P25/18	C07D217/02	C07D209/44
C07D409/12	C07D405/12			

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	WO 97 45403 A (PHARMACIA & UPJOHN COMPANY) 4 December 1997 (1997-12-04) claims 1,31	1,3,7
A	WO 00 21951 A (SMITHKLINE BEECHAM PLC) 20 April 2000 (2000-04-20) claims 1,7,8	1,3,7
A	WO 98 50364 A (SMITHKLINE BEECHAM PLC) 12 November 1998 (1998-11-12) claims 1,11,12	1,3,7
A	US 5 939 451 A (MICHAEL BÖS ET AL.) 17 August 1999 (1999-08-17) column 1	1,3
		-/-

Further documents are listed in continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents :

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international filing date
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- "&" document member of the same patent family

Date of the actual completion of the international search

10 November 2003

Date of mailing of the international search report

04/12/2003

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Van Bijlen, H

INTERNATIONAL SEARCH REPORTInternational Application No
PCT/EP 03/01544**C(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT**

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
E	WO 03 068751 A (GLAXO GROUP LTD.) 21 August 2003 (2003-08-21) claims; examples 5,6,10-12,16	1,3,7

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/01544

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
Although claims 9-10 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

1. As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

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